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TERMINAL (ENTER 1, 2, 3, OR ?):2

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FILE 'HOME' ENTERED AT 11:44:18 ON 28 APR 2004

=> file reg
COST IN U.S. DOLLARS

SINCE FILE TOTAL

<4/28/2004>

10723961.1

Page 2

FULL ESTIMATED COST

ENTRY SESSION 0.21 0.21

FILE 'REGISTRY' ENTERED AT 11:44:52 ON 28 APR 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 American Chemical Society (ACS)

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STRUCTURE FILE UPDATES: 26 APR 2004 HIGHEST RN 676992-14-6 DICTIONARY FILE UPDATES: 26 APR 2004 HIGHEST RN 676992-14-6

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

Uploading c:\program files\stnexp\queries\10723961.1

STRUCTURE UPLOADED

=> d l1 L1 HAS NO ANSWERS

=>

L1

L1 STR

G1 Ph,X,Cb,Ak,COOH

10723961.1

Page 3

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full

FULL SEARCH INITIATED 11:45:22 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 10861 TO ITERATE

100.0% PROCESSED 10861 ITERATIONS

21 SEA SSS FUL L1

21 ANSWERS

SEARCH TIME: 00.00.01

=> file marpat

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY 155.42

SESSION 155.63

FULL ESTIMATED COST

FILE 'MARPAT' ENTERED AT 11:45:27 ON 28 APR 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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FILE CONTENT: 1988-PRESENT (VOL 140 ISS 17) (20040423/ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES (COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6709645 23 MAR 2004

10335606 11 MAR 2004 DE

1403278 31 MAR 2004

JP 2004099560 02 APR 2004

WO 2004024934 25 MAR 2004

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

=> s l1 sss full

FULL SEARCH INITIATED 11:45:32 FILE 'MARPAT'

FULL SCREEN SEARCH COMPLETED - 4800 TO ITERATE

81.6% PROCESSED 3918 ITERATIONS

1 ANSWERS

97.9% PROCESSED 4699 ITERATIONS

3 ANSWERS

100.0% PROCESSED 4800 ITERATIONS

3 ANSWERS

SEARCH TIME: 00.00.46

L3

3 SEA SSS FUL L1

=> file caold

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

FULL ESTIMATED COST

109.84

ENTRY

SESSION 265.47

FILE 'CAOLD' ENTERED AT 11:46:28 ON 28 APR 2004

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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Patel

10723961.1 Page 4

FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

=> s ll sss full

# REG1stRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress... Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 11:46:35 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 10861 TO ITERATE

100.0% PROCESSED 10861 ITERATIONS

21 ANSWERS

SEARCH TIME: 00.00.02

L4 21 SEA SSS FUL L1

L5 0 L4

=> file caplus
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.42 421.73

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 11:46:50 ON 28 APR 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 28 Apr 2004 VOL 140 ISS 18

10723961.1 Page 5

FILE LAST UPDATED: 27 Apr 2004 (20040427/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

L1

L3

(FILE 'HOME' ENTERED AT 11:44:18 ON 28 APR 2004)

FILE 'REGISTRY' ENTERED AT 11:44:52 ON 28 APR 2004

STRUCTURE UPLOADED

L2 21 S L1 SSS FULL

FILE 'MARPAT' ENTERED AT 11:45:27 ON 28 APR 2004
3 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 11:46:28 ON 28 APR 2004 S L1

FILE 'REGISTRY' ENTERED AT 11:46:35 ON 28 APR 2004 L4 21 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 11:46:37 ON 28 APR 2004 L5 0 S L4 SSS FULL

FILE 'CAPLUS' ENTERED AT 11:46:50 ON 28 APR 2004

=> s 12

L6 5 L2

=> s 13

L7 3 L3

=> d 16 fbib hitstr abs total

L6 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2004:143102 CAPLUS

DN 140:181325

TI Preparation of 3-imino-2-indolones as selective antagonists for GalR3 receptor for the treatment of depression and/or anxiety

IN Konkel, Michael; Wetzel, John M.; Talisman, Jamie

PA Synaptic Pharmaceutical Corporation, USA

SO PCT Int. Appl., 86 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2004014854 A1 20040219 WO 2003-US24867 20030807

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ

10723961.1

Page 6

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2002-215374 A 20020807

OS MARPAT 140:181325

IT 659726-71-3P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of iminoindolones as antidepressants and anxiolytics with selectivity for GalR3 receptor)

RN 659726-71-3 CAPLUS

CN 2H-Indol-2-one, 3-[(3,4-dichlorophenyl)imino]-1,3-dihydro-1-(6-methoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)

IT 659726-72-4P 659726-79-1P 659727-02-3P 659727-04-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of iminoindolones as antidepressants and anxiolytics with selectivity for GalR3 receptor)

RN 659726-72-4 CAPLUS

CN 2H-Indol-2-one, 5-chloro-3-[(3,4-dichlorophenyl)imino]-1,3-dihydro-1-(6-methoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 659726-79-1 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-1-(6-methoxy-3-pyridinyl)-3-[[3-(trifluoromethyl)phenyl]imino]- (9CI) (CA INDEX NAME)

10723961.1

Page 7

RN 659727-02-3 CAPLUS

CN 2H-Indol-2-one, 5-chloro-3-[(3,4-dichlorophenyl)imino]-1-(1,6-dihydro-6-oxo-3-pyridinyl)-1,3-dihydro- (9CI) (CA INDEX NAME)

RN 659727-04-5 CAPLUS

CN 2H-Indol-2-one, 3-[(3,4-dichlorophenyl)imino]-1-(1,6-dihydro-6-oxo-3-pyridinyl)-1,3-dihydro-(9CI) (CA INDEX NAME)

GΙ

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [Y1, Y2, Y3 and Y4 independently = H, alkyl, mono- or

<4/28/2004>

Patel

poly-fluoroalkyl, halo, NO2, CN, etc., and any two of Y1, Y2, Y3 and Y4 present on adjacent carbons can constitute a methylenedioxy group; R1 = H, alkyl, mono- or poly-fluoroalkyl, halo, NO2, CN, cycloalkyl, cycloalkenyl, etc., and any two of Y1, Y2, Y3 and Y4 present on adjacent carbons can constitute a methylenedioxy or difluoromethylenedioxy group; R2 = H, F, Cl, or Me; Ar = (un) substituted pyridin-3-yl or hydroxyphenyl group] and their pharmaceutically acceptable salts are prepared and disclosed as selective antagonists for the GalR3 receptor. Thus, e.g., II was prepared by reaction of 5-chloroisatin with 3,4-dichloroaniline to form an intermediate iminoindole derivative which was coupled with 2-methoxypyridine-5-boronic acid. I were evaluated for their binding ability to the GalR3 receptor and possessed Ki values ranging from 15-72 The invention provides a pharmaceutical composition comprising a therapeutically effective amount of a compound of the invention and a pharmaceutically acceptable carrier. This invention also provides a pharmaceutical composition made by combining a therapeutically effective amount of a compound of the invention and a pharmaceutically acceptable carrier. This invention further provides a process for making a pharmaceutical composition comprising combining a therapeutically effective amount of a compound

of the invention and a pharmaceutically acceptable carrier. This invention also provides a method of treating a subject suffering from depression and/or anxiety which comprises administering to the subject an amount of a compound of the invention effective to treat the subject's depression and/or anxiety. This invention also provides a method of treating depression and/or anxiety in a subject which comprises administering to the subject a composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a GalR3 receptor antagonist.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
L6
     2004:142959 CAPLUS
AN
     140:193081
DN
ΤI
     Pyrimidine and indolone derivative GAL3 receptor antagonists, and
     preparation thereof, for the treatment of affective disorders
IN
     Konkel, Michael; Blackburn, Thomas P.; Wetzel, John M.
PA
     Synaptic Pharmaceutical Corporation, USA
SO
     PCT Int. Appl., 427 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
                      KIND DATE
     PATENT NO.
                                           APPLICATION NO.
                                                            DATE
                                           _____
PΙ
     WO 2004014376
                            20040219
                                          WO 2003-US25133 20030807
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             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
             PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
             TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG,
             KZ, MD, RU, TJ
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
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GW, ML, MR, NE, SN, TD, TG

<4/28/2004>

CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ.

US 2002-215346 A 20020807

OS MARPAT 140:193081 IT 445453-46-3P 445454-93-3P 445454-95-5P 445454-96-6P 445454-98-8P 445454-99-9P 445455-00-5P 445455-03-8P 445455-04-9P 445455-05-0P 445455-06-1P 445455-24-3P 445455-25-4P 445455-29-8P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (pyrimidine and indolone derivative GAL3 antagonists for treatment of neuropathic pain) RN445453-46-3 CAPLUS CN2H-Indol-2-one, 1,3-dihydro-1-(3-thienyl)-3-[[3-(trifluoromethyl)phenyl]imino] - (9CI) (CA INDEX NAME)

RN 445454-93-3 CAPLUS
CN 2H-Indol-2-one, 3-[(4-chloro-3-methylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-95-5 CAPLUS CN 2H-Indol-2-one, 3-[(4-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445454-96-6 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-iodophenyl)imino]-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-98-8 CAPLUS

CN 2H-Indol-2-one, 3-[(3,5-difluorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-99-9 CAPLUS

CN 2H-Indol-2-one, 3-([1,1'-biphenyl]-4-ylimino)-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-00-5 CAPLUS

CN Benzoic acid, 3-{(Z)-[1,2-dihydro-2-oxo-1-(3-thienyl)-3H-indol-3-ylidene]amino]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-03-8 CAPLUS

.CN 2H-Indol-2-one, 3-[(4-bromophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-04-9 CAPLUS

CN 2H-Indol-2-one, 3-[(3-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-05-0 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(3-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-06-1 CAPLUS

CN 2H-Indol-2-one, 3-[(3,4-dichlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-24-3 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[[3-(1-methylethyl)phenyl]imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-25-4 CAPLUS

CN 2H-Indol-2-one, 3-[(4-cyclohexylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-29-8 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-1-(tetrahydro-2H-pyran-4-yl)-3-[[3-(trifluoromethyl)phenyl]imino]-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

# IT 445454-97-7P 445455-58-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(pyrimidine and indolone derivative GAL3 antagonists for treatment of neuropathic pain)

RN 445454-97-7 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-58-3 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

AB The invention discloses pyrimidine and indolone derivs. which are selective antagonists for the GAL3 receptor. The invention provides a method of treating a subject suffering from an affective disorder which comprises administering an amount of a compound of the invention effective to treat the subject's affective disorder. The invention also provides a method of treating an affective disorder in a subject which comprises administering a composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a GAL3 receptor antagonist. The invention further provides a process for making a pharmaceutical composition comprising combining a therapeutically effective amount of a compound of the invention and a pharmaceutically acceptable carrier. Preparation of compds. of the invention is described.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2004:142904 CAPLUS

DN 140:193080

```
Pyrimidine and indolone derivative GAL3 antagonists for the treatment of
ΤI
     neuropathic pain
     Blackburn, Thomas
IN
     Synaptic Pharmaceutical Corporation, USA
PA
     PCT Int. Appl., 359 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                      KIND
                            DATE
                                           APPLICATION NO.
                                                            DATE
                                           -----
PΙ
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             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
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         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
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                                           US 2002-215267 A 20020807
OS
     MARPAT 140:193080
IT
     445453-46-3P 445454-93-3P 445454-95-5P
     445454-96-6P 445454-98-8P 445454-99-9P
     445455-00-5P 445455-03-8P 445455-04-9P
     445455-05-0P 445455-06-1P 445455-24-3P
     445455-25-4P 445455-29-8P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (pyrimidine and indolone derivative GAL3 antagonists for treatment of
        neuropathic pain)
RN
     445453-46-3 CAPLUS
CN
     2H-Indol-2-one, 1,3-dihydro-1-(3-thienyl)-3-[[3-
     (trifluoromethyl)phenyl]imino] - (9CI) (CA INDEX NAME)
```

RN 445454-93-3 CAPLUS
CN 2H-Indol-2-one, 3-[(4-chloro-3-methylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445454-95-5 CAPLUS

CN 2H-Indol-2-one, 3-[(4-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-96-6 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-iodophenyl)imino]-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-98-8 CAPLUS

CN 2H-Indol-2-one, 3-[(3,5-difluorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445454-99-9 CAPLUS

CN 2H-Indol-2-one, 3-([1,1'-biphenyl]-4-ylimino)-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-00-5 CAPLUS

CN Benzoic acid, 3-[(Z)-[1,2-dihydro-2-oxo-1-(3-thienyl)-3H-indol-3-ylidene]amino]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-03-8 CAPLUS

CN 2H-Indol-2-one, 3-[(4-bromophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

RN 445455-04-9 CAPLUS

CN 2H-Indol-2-one, 3-[(3-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-05-0 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(3-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-06-1 CAPLUS

CN 2H-Indol-2-one, 3-[(3,4-dichlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-24-3 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[[3-(1-methylethyl)phenyl]imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-25-4 CAPLUS

CN 2H-Indol-2-one, 3-[(4-cyclohexylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-29-8 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-1-(tetrahydro-2H-pyran-4-yl)-3-[[3-(trifluoromethyl)phenyl]imino]-, (3Z)- (9CI) (CA INDEX NAME)

IT 445454-97-7P 445455-58-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(pyrimidine and indolone derivative GAL3 antagonists for treatment of neuropathic pain)

RN 445454-97-7 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-58-3 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3E)- (9CI) (CA INDEX NAME)

This invention discloses pyrimidine and indolone derivs. which are selective antagonists for the GAL3 receptor and are useful for the treatment of neuropathic pain and other abnormalities. The invention also provides a method of treating a subject suffering from an abnormality which comprises administering to the subject an amount of a compound of the invention effective to treat the subject's abnormality. The invention also provides a method of treating an abnormality in a subject which comprises administering to the subject a composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a GAL3 receptor antagonist. Compound preparation is described.

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L6 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
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AN 2003:319458 CAPLUS

DN 138:321291

TI Preparation of pyrimidine and indol-2-one derivatives as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety

IN Blackburn, Thomas P.; Konkel, Michael J.; Boteju, Lakmal W.; Talisman, Ian Jamie; Wetzel, John M.; Packiarajan, Mathivanan; Chen, Heidi; Jimenez, Hermo

PA USA

SO U.S. Pat. Appl. Publ., 265 pp. CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

 $\mathbf{P}$ 

|    | PATENT NO.    | KIND | DATE'        | APPLICATION NO.  | DATE     |
|----|---------------|------|--------------|------------------|----------|
|    |               |      | <del>-</del> |                  |          |
| ΡI | US 2003078271 | A1   | 20030424     | US 2002-66175    | 20020131 |
|    |               |      |              | US 2001-265586PP | 20010131 |

OS MARPAT 138:321291

IT 445453-46-3P 445454-93-3P 445454-95-5P 445454-96-6P 445454-97-7P 445454-98-8P 445454-99-9P 445455-00-5P 445455-03-8P 445455-04-9P 445455-05-0P 445455-06-1P

445455-24-3P 445455-25-4P 445455-29-8P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

CN

(preparation of pyrimidine and indol-2-one derivs. as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety)

RN 445453-46-3 CAPLUS

2H-Indol-2-one, 1,3-dihydro-1-(3-thienyl)-3-[[3-(trifluoromethyl)phenyl]imino]- (9CI) (CA INDEX NAME)

RN 445454-93-3 CAPLUS

CN 2H-Indol-2-one, 3-[(4-chloro-3-methylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-95-5 CAPLUS

CN 2H-Indol-2-one, 3-[(4-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-96-6 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-iodophenyl)imino]-1-(3-thienyl)-, (3Z)-

<4/28/2004>

Patel ·

(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-97-7 CAPLUS

2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, CN(3Z) - (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN445454-98-8 CAPLUS

CN 2H-Indol-2-one, 3-[(3,5-difluorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z) - (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN445454-99-9 CAPLUS

CN2H-Indol-2-one, 3-([1,1'-biphenyl]-4-ylimino)-1,3-dihydro-1-(3-thienyl)-, (3Z) - (9CI) (CA INDEX NAME)

RN 445455-00-5 CAPLUS

CN Benzoic acid, 3-[(Z)-[1,2-dihydro-2-oxo-1-(3-thienyl)-3H-indol-3-ylidene]amino]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-03-8 CAPLUS

CN 2H-Indol-2-one, 3-[(4-bromophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (32)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-04-9 CAPLUS

CN 2H-Indol-2-one, 3-[(3-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-05-0 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(3-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-06-1 CAPLUS

CN 2H-Indol-2-one, 3-[(3,4-dichlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-24-3 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[[3-(1-methylethyl)phenyl]imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-25-4 CAPLUS

CN 2H-Indol-2-one, 3-[(4-cyclohexylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-29-8 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-1-(tetrahydro-2H-pyran-4-yl)-3-[[3-(trifluoromethyl)phenyl]imino]-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

#### IT 445455-58-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrimidine and indol-2-one derivs. as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety)

RN 445455-58-3 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

GΙ

Title compds. I [W = H, halo, CN, etc.; X = substituted NH2, (un)substituted piperidino, 4-oxopiperidino, piperazino; R1 = bicyclic ring, adamantyl, (hetero)aryl, etc.; Y = substituted NH2, (un)substituted 2-isoquinolinyl, morpholino, etc]. and analogs are selective antagonists for the GAL3 receptor and are useful in treating depression and/or anxiety are prepared Various general procedures for synthesis of I and biol. data, are given. E.g., exemplified compound I [W = H; X = piperidino; Y = N-cyclohexyl-N-methylamino; R1 = 4-MeC6H4] showed Ki of 35 nM against GalR3 receptor binding vs. Ki of 668 nM and Ki of 188 nM against GalR1 and GalR2, resp.

L6 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:594639 CAPLUS

DN 137:154941

TI Preparation of pyrimidine and indol-2-one derivatives as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety

IN Blackburn, Thomas P.; Konkel, Michael

PA Synaptic Pharmaceutical Corporation, USA

SO PCT Int. Appl., 832 pp. CODEN: PIXXD2

DT Patent

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English
LΑ
FAN.CNT 1
                                               APPLICATION NO.
                                                                  DATE
                        KIND
                               DATE
     PATENT NO.
                               ____
                        _ - - -
                                                                  20020131
     WO 2002060392
                         A2
                               20020808
                                               WO 2002-US4608
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     WO 2002060392
                        A3
                               20030925
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     EP 1363638
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      445453-46-3P 445454-93-3P 445454-95-5P
IT
      445454-96-6P 445454-97-7P 445454-98-8P
      445454-99-9P 445455-00-5P 445455-03-8P
      445455-04-9P 445455-05-0P 445455-06-1P
      445455-24-3P 445455-25-4P 445455-29-8P
      RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
      (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
         (preparation of pyrimidine and indol-2-one derivs. as galanin GAL3 receptor
         antagonists for the treatment of depression and/or anxiety)
RN
      445453-46-3 CAPLUS
      2H-Indol-2-one, 1,3-dihydro-1-(3-thienyl)-3-[[3-
CN
      (trifluoromethyl)phenyl]imino] - (9CI) (CA INDEX NAME)
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RN 445454-95-5 CAPLUS

CN 2H-Indol-2-one, 3-[(4-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-96-6 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-iodophenyl)imino]-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-97-7 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445454-98-8 CAPLUS

CN 2H-Indol-2-one, 3-[(3,5-difluorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-99-9 CAPLUS

CN 2H-Indol-2-one, 3-([1,1'-biphenyl]-4-ylimino)-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-00-5 CAPLUS

CN Benzoic acid, 3-[(Z)-[1,2-dihydro-2-oxo-1-(3-thienyl)-3H-indol-3-ylidene]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 445455-03-8 CAPLUS

CN 2H-Indol-2-one, 3-[(4-bromophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-04-9 CAPLUS

CN 2H-Indol-2-one, 3-[(3-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-05-0 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(3-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Page 32

RN 445455-06-1 CAPLUS

CN 2H-Indol-2-one, 3-[(3,4-dichlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-24-3 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[[3-(1-methylethyl)phenyl]imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-25-4 CAPLUS

CN 2H-Indol-2-one, 3-[(4-cyclohexylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-29-8 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-1-(tetrahydro-2H-pyran-4-yl)-3-[[3-(trifluoromethyl)phenyl]imino]-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

### IT 445455-58-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrimidine and indol-2-one derivs. as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety)

RN 445455-58-3 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3E)- (9CI) (CA INDEX NAME)

GΙ

$$\begin{array}{c} X \\ W \\ N \\ N \\ H \end{array}$$

The title compds. [I (wherein W = H, halo, CN, etc.; X = substituted NH2, (un)substituted piperidino, 4-oxopiperidino, piperazino; R1 = bicyclic ring, adamantyl, (hetero)aryl, etc.; Y = substituted NH2, (un)substituted 2-isoquinolinyl, morpholino, etc.) and II (Y1-Y4 = H, alkyl, fluoroalkyl, etc.; A = (un)substituted Ph, thienyl, pyridylmethyl, etc.; B = (un)substituted Ph, pyridyl, indolyl, etc.)] which are selective antagonists for the GAL3 receptor, and are useful in treating depression and/or anxiety, were prepared Various general procedures for synthesis of the compds. I and II and their biol. data, were given. E.g., exemplified compound I [W = H; X = piperidino; Y = N-cyclohexyl-N-methylamino; R1 = 4-MeC6H4] showed Ki of 35 nM against GalR3 receptor binding vs. Ki of 668 nM and Ki of 188 nM against GalR1 and GalR2, resp.

ΙI

## => d 17 fbib hitstr abs total

- L7 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
- AN 2004:143102 CAPLUS
- DN 140:181325
- TI Preparation of 3-imino-2-indolones as selective antagonists for GalR3 receptor for the treatment of depression and/or anxiety

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10723961.1
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Page 35

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Konkel, Michael; Wetzel, John M.; Talisman, Jamie
    Synaptic Pharmaceutical Corporation, USA
PA
    PCT Int. Appl., 86 pp.
SO
    CODEN: PIXXD2
    Patent.
DT
LА
    English
FAN.CNT 1
    PATENT NO.
                     KIND DATE
                                         APPLICATION NO. DATE
                    A1 20040219
     _____
                                         _____
                                     WO 2003-US24867 20030807
    WO 2004014854
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                           20040219
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            LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
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            TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG,
            KZ, MD, RU, TJ
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
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            NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
            GW, ML, MR, NE, SN, TD, TG
                                         US 2002-215374 A 20020807
    MARPAT 140:181325
OS
GI
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- \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT \*
- Title compds. I [Y1, Y2, Y3 and Y4 independently = H, alkyl, mono- or AB poly-fluoroalkyl, halo, NO2, CN, etc., and any two of Y1, Y2, Y3 and Y4 present on adjacent carbons can constitute a methylenedioxy group; R1 = H, alkyl, mono- or poly-fluoroalkyl, halo, NO2, CN, cycloalkyl, cycloalkenyl, etc., and any two of Y1, Y2, Y3 and Y4 present on adjacent carbons can constitute a methylenedioxy or difluoromethylenedioxy group; R2 = H, F, Cl, or Me; Ar = (un) substituted pyridin-3-yl or hydroxyphenyl group] and their pharmaceutically acceptable salts are prepared and disclosed as selective antagonists for the GalR3 receptor. Thus, e.g., II was prepared by reaction of 5-chloroisatin with 3,4-dichloroaniline to form an intermediate iminoindole derivative which was coupled with 2-methoxypyridine-5-boronic acid. I were evaluated for their binding ability to the GalR3 receptor and possessed Ki values ranging from 15-72 The invention provides a pharmaceutical composition comprising a therapeutically effective amount of a compound of the invention and a pharmaceutically acceptable carrier. This invention also provides a pharmaceutical composition made by combining a therapeutically effective amount of a compound of the invention and a pharmaceutically acceptable carrier. This invention further provides a process for making a pharmaceutical composition comprising combining a therapeutically effective amount of a

of the invention and a pharmaceutically acceptable carrier. This invention also provides a method of treating a subject suffering from depression and/or anxiety which comprises administering to the subject an amount of a compound of the invention effective to treat the subject's depression and/or anxiety. This invention also provides a method of treating depression and/or anxiety in a subject which comprises administering to the subject a composition comprising a pharmaceutically

Patel <4/28/2004>

acceptable carrier and a therapeutically effective amount of a GalR3 receptor antagonist.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:319458 CAPLUS

DN 138:321291

TI Preparation of pyrimidine and indol-2-one derivatives as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety

IN Blackburn, Thomas P.; Konkel, Michael J.; Boteju, Lakmal W.; Talisman, Ian Jamie; Wetzel, John M.; Packiarajan, Mathivanan; Chen, Heidi; Jimenez, Hermo

PA USA

SO U.S. Pat. Appl. Publ., 265 pp. CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE
PI US 2003078271 A1 20030424 US 2002-66175 20020131
US 2001-265586PP 20010131

OS MARPAT 138:321291

GI

- Title compds. I [W = H, halo, CN, etc.; X = substituted NH2, (un)substituted piperidino, 4-oxopiperidino, piperazino; R1 = bicyclic ring, adamantyl, (hetero)aryl, etc.; Y = substituted NH2, (un)substituted 2-isoquinolinyl, morpholino, etc]. and analogs are selective antagonists for the GAL3 receptor and are useful in treating depression and/or anxiety are prepared Various general procedures for synthesis of I and biol. data, are given. E.g., exemplified compound I [W = H; X = piperidino; Y = N-cyclohexyl-N-methylamino; R1 = 4-MeC6H4] showed Ki of 35 nM against GalR3 receptor binding vs. Ki of 668 nM and Ki of 188 nM against GalR1 and GalR2, resp.
- L7 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
- AN 2002:594639 CAPLUS
- DN 137:154941
- TI Preparation of pyrimidine and indol-2-one derivatives as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety
- IN Blackburn, Thomas P.; Konkel, Michael
- PA Synaptic Pharmaceutical Corporation, USA
- SO PCT Int. Appl., 832 pp. CODEN: PIXXD2
- DT Patent

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LA English FAN.CNT 1
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|    | PATENT NO.  | KIND DATE   | APPLICATION NO. DATE  |  |  |  |  |  |
|----|---|---|---|--|--|--|--|--|
| ΡI |   | A2 20020808<br>A3 20030925  | WO 2002-US4608 20020131   |  |  |  |  |  |
|    | W: AE, AG,<br>CO, CR,<br>GM, HR,<br>LS, LT,<br>PL, PT,<br>UA, UG,<br>RW: GH, GM,<br>CY, DE, | AL, AM, AT, AU, AZ CU, CZ, DE, DK, DM HU, ID, IL, IN, IS LU, LV, MA, MD, MG RO, RU, SD, SE, SG UZ, VN, YU, ZA, ZM KE, LS, MW, MZ, SD DK, ES, FI, FR, GB | , BA, BB, BG, BR, BY, BZ, CA, CH, CN, DZ, EC, EE, ES, FI, GB, GD, GE, GH, JP, KE, KG, KP, KR, KZ, LC, LK, LR, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, GR, IE, IT, LU, MC, NL, PT; SE, TR, |  |  |  |  |  |
|    | BF, BJ,   | ,   | , GN, GQ, GW, ML, MR, NE, SN, TD, TG<br>US 2001-775341 A 20010131<br>EP 2002-714918 20020131  |  |  |  |  |  |
|    | R: AT, BE,  |   | , GB, GR, IT, LI, LU, NL, SE, MC, PT,   |  |  |  |  |  |
| OS | NO 2003003388 MARPAT 137:1549   | A 20030924  | NO 2003-3388 20030729<br>US 2001-775341 A 20010131<br>WO 2002-US4608 W 20020131   |  |  |  |  |  |

GΙ

AB The title compds. [I (wherein W = H, halo, CN, etc.; X = substituted NH2, (un)substituted piperidino, 4-oxopiperidino, piperazino; R1 = bicyclic ring, adamantyl, (hetero)aryl, etc.; Y = substituted NH2, (un)substituted 2-isoquinolinyl, morpholino, etc.) and II (Y1-Y4 = H, alkyl, fluoroalkyl, etc.; A = (un)substituted Ph, thienyl, pyridylmethyl, etc.; B = (un)substituted Ph, pyridyl, indolyl, etc.)] which are selective antagonists for the GAL3 receptor, and are useful in treating depression and/or anxiety, were prepared Various general procedures for synthesis of the compds. I and II and their biol. data, were given. E.g., exemplified compound I [W = H; X = piperidino; Y = N-cyclohexyl-N-methylamino; R1 = 4-MeC6H4] showed Ki of 35 nM against GalR3 receptor binding vs. Ki of 668 nM and Ki of 188 nM against GalR1 and GalR2, resp.

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SINCE FILE TOTAL ENTRY SESSION 33.16 454.89

FULL ESTIMATED COST

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Page 38

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE

SESSION

CA SUBSCRIBER PRICE

ENTRY -5.54

-5.54

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PASSWORD:

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                 and searchable
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                A new search aid, the Company Name Thesaurus, available in
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                 CA/CAplus
        FEB 05 German (DE) application and patent publication number format
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     8 MAR 03 FRANCEPAT now available on STN
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NEWS 9 MAR 29 Pharmaceutical Substances (PS) now available on STN
NEWS 10 MAR 29 WPIFV now available on STN
NEWS 11 MAR 29 No connect hour charges in WPIFV until May 1, 2004
NEWS 12 MAR 29
                New monthly current-awareness alert (SDI) frequency in RAPRA
NEWS 13 APR 26
                 PROMT: New display field available
NEWS 14 APR 26
                 FIPAT/IFIUDB/IFICDB: New super search and display field
                 available
NEWS 15 APR 26
                 LITALERT now available on STN
NEWS 16 APR 27
                NLDB: New search and display fields available
NEWS EXPRESS MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT
              MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
              AND CURRENT DISCOVER FILE IS DATED 13 APRIL 2004
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NEWS HOURS
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NEWS LOGIN
              Welcome Banner and News Items
              Direct Dial and Telecommunication Network Access to STN
NEWS PHONE
              CAS World Wide Web Site (general information)
NEWS WWW
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FILE 'HOME' ENTERED AT 11:53:40 ON 28 APR 2004

=> file reg COST IN U.S. DOLLARS

SINCE FILE TOTAL

<4/28/2004>

FULL ESTIMATED COST

ENTRY SESSION 0.21 0.21

FILE 'REGISTRY' ENTERED AT 11:53:49 ON 28 APR 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 26 APR 2004 HIGHEST RN 676992-14-6 DICTIONARY FILE UPDATES: 26 APR 2004 HIGHEST RN 676992-14-6

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/reqistryss.html

Uploading c:\program files\stnexp\queries\10723961.6

L1 STRUCTURE UPLOADED

=> d ll L1 HAS NO ANSWERS

L1 STR

G1 Ph, X, Cb, Ak, COOH

10723961.6 Page 3

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full

FULL SEARCH INITIATED 11:54:32 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 28 TO ITERATE

100.0% PROCESSED

28 ITERATIONS

15 ANSWERS

SEARCH TIME: 00.00.01

1.2

15 SEA SSS FUL L1

=> file marpat

COST IN U.S. DOLLARS

SINCE FILE TOTAL

ENTRY 155.42 SESSION 155.63

FULL ESTIMATED COST

FILE 'MARPAT' ENTERED AT 11:54:39 ON 28 APR 2004

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FILE CONTENT: 1988-PRESENT (VOL 140 ISS 17) (20040423/ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES (COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6709645 23 MAR 2004

DE 10335606 11 MAR 2004

EP 1403278 31 MAR 2004

JP 2004099560 02 APR 2004

WO 2004024934 25 MAR 2004

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

=> s l1 sss full

FULL SEARCH INITIATED 11:54:44 FILE 'MARPAT'

SCREENING

FULL SCREEN SEARCH COMPLETED - 1859 TO ITERATE

100.0% PROCESSED 1859 ITERATIONS

2 ANSWERS

SEARCH TIME: 00.00.39

L3 2 SEA SSS FUL L1

=> file caold

COST IN U.S. DOLLARS

SINCE FILE TOTAL

ENTRY SESSION

FULL ESTIMATED COST

109.84 265.47

FILE 'CAOLD' ENTERED AT 11:55:42 ON 28 APR 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1907-1966

Patel <4/28/2004>

10723961.6 Page 4

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

=> s l1 sss full

## REG1stRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress... Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 11:55:48 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 28 TO ITERATE

100.0% PROCESSED 28 ITERATIONS

15 ANSWERS

SEARCH TIME: 00.00.01

L4 15 SEA SSS FUL L1

L5 0 L4

=> file caplus

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
0.42 421.73

FILE 'CAPLUS' ENTERED AT 11:55:54 ON 28 APR 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 28 Apr 2004 VOL 140 ISS 18 FILE LAST UPDATED: 27 Apr 2004 (20040427/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L6
=> s 13
L7
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=> d 16 fbib hitstr abs total
   ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
ΑN
     2004:142959 CAPLUS
DN
     140:193081
TI
     Pyrimidine and indolone derivative GAL3 receptor antagonists, and
     preparation thereof, for the treatment of affective disorders
     Konkel, Michael; Blackburn, Thomas P.; Wetzel, John M.
IN
     Synaptic Pharmaceutical Corporation, USA
PA
SO
     PCT Int. Appl., 427 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LA
FAN.CNT 1
     PATENT NO.
                     KIND DATE
                                         APPLICATION NO. DATE
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                                          ______
                            _____
     WO 2004014376
                     A1 20040219
                                         WO 2003-US25133 20030807
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,

Patel <4/28/2004>

KZ, MD, RU, TJ

Page 6

NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2002-215346 A 20020807

OS MARPAT 140:193081

IT 445453-46-3P 445454-93-3P 445454-95-5P

445454-96-6P 445454-98-8P 445454-99-9P

445455-00-5P 445455-03-8P 445455-04-9P

445455-05-0P 445455-06-1P 445455-24-3P

445455-25-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(pyrimidine and indolone derivative GAL3 antagonists for treatment of neuropathic pain)

RN 445453-46-3 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-1-(3-thienyl)-3-[[3-

(trifluoromethyl)phenyl]imino] - (9CI) (CA INDEX NAME)

RN 445454-93-3 CAPLUS

CN 2H-Indol-2-one, 3-[(4-chloro-3-methylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-95-5 CAPLUS

CN 2H-Indol-2-one, 3-[(4-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445454-96-6 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-iodophenyl)imino]-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-98-8 CAPLUS

CN 2H-Indol-2-one, 3-[(3,5-difluorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-99-9 CAPLUS

CN 2H-Indol-2-one, 3-([1,1'-biphenyl]-4-ylimino)-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Page 8

RN 445455-00-5 CAPLUS

CN Benzoic acid, 3-[(Z)-[1,2-dihydro-2-oxo-1-(3-thienyl)-3H-indol-3-ylidene]amino]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown:

RN 445455-03-8 CAPLUS

CN 2H-Indol-2-one, 3-[(4-bromophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-04-9 CAPLUS

CN 2H-Indol-2-one, 3-[(3-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-05-0 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(3-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-06-1 CAPLUS

CN 2H-Indol-2-one, 3-[(3,4-dichlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-24-3 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[[3-(1-methylethyl)phenyl]imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-25-4 CAPLUS

CN 2H-Indol-2-one, 3-[(4-cyclohexylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

## IT 445454-97-7P 445455-58-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(pyrimidine and indolone derivative GAL3 antagonists for treatment of neuropathic pain)

RN 445454-97-7 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-58-3 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3E)- (9CI) (CA INDEX NAME)

AB The invention discloses pyrimidine and indolone derivs. which are selective antagonists for the GAL3 receptor. The invention provides a method of treating a subject suffering from an affective disorder which comprises administering an amount of a compound of the invention effective to treat the subject's affective disorder. The invention also provides a method of treating an affective disorder in a subject which comprises administering a composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a GAL3 receptor antagonist. The invention further provides a process for making a pharmaceutical composition comprising combining a therapeutically effective amount of. a compound of the invention and a pharmaceutically acceptable carrier. Preparation of compds. of the invention is described.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L6 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
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AN 2004:142904 CAPLUS

DN 140:193080

TI Pyrimidine and indolone derivative GAL3 antagonists for the treatment of neuropathic pain

IN Blackburn, Thomas

PA Synaptic Pharmaceutical Corporation, USA

SO PCT Int. Appl., 359 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2004014307 A2 20040219 WO 2003-US24869 20030807

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ

Patel <4/28/2004>

Page 12

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2002-215267 A 20020807

OS MARPAT 140:193080

IT 445453-46-3P 445454-93-3P 445454-95-5P 445454-96-6P 445454-98-8P 445454-99-9P 445455-00-5P 445455-03-8P 445455-04-9P 445455-05-0P 445455-06-1P 445455-24-3P 445455-25-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(pyrimidine and indolone derivative GAL3 antagonists for treatment of neuropathic pain)

RN 445453-46-3 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-1-(3-thienyl)-3-[[3-(trifluoromethyl)phenyl]imino]- (9CI) (CA INDEX NAME)

RN 445454-93-3 CAPLUS

CN 2H-Indol-2-one, 3-[(4-chloro-3-methylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-95-5 CAPLUS

CN 2H-Indol-2-one, 3-[(4-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445454-96-6 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-iodophenyl)imino]-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-98-8 CAPLUS

CN 2H-Indol-2-one, 3-[(3,5-difluorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-99-9 CAPLUS

CN 2H-Indol-2-one, 3-([1,1'-biphenyl]-4-ylimino)-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-00-5 CAPLUS

CN Benzoic acid, 3-[(Z)-[1,2-dihydro-2-oxo-1-(3-thienyl)-3H-indol-3-ylidene]amino]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-03-8 CAPLUS

CN 2H-Indol-2-one, 3-[(4-bromophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-04-9 CAPLUS

CN 2H-Indol-2-one, 3-[(3-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-06-1 CAPLUS CN 2H-Indol-2-one, 3-[(3,4-dichlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-24-3 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[[3-(1-methylethyl)phenyl]imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-25-4 CAPLUS

CN 2H-Indol-2-one, 3-[(4-cyclohexylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

## IT 445454-97-7P 445455-58-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(pyrimidine and indolone derivative GAL3 antagonists for treatment of neuropathic pain)

RN 445454-97-7 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-58-3 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3E)- (9CI) (CA INDEX NAME)

AB This invention discloses pyrimidine and indolone derivs. which are selective antagonists for the GAL3 receptor and are useful for the treatment of neuropathic pain and other abnormalities. The invention also provides a method of treating a subject suffering from an abnormality which comprises administering to the subject an amount of a compound of the invention effective to treat the subject's abnormality. The invention also provides a method of treating an abnormality in a subject which comprises administering to the subject a composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a GAL3 receptor antagonist. Compound preparation is described.

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L6 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
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AN 2003:319458 CAPLUS

DN 138:321291

TI Preparation of pyrimidine and indol-2-one derivatives as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety

IN Blackburn, Thomas P.; Konkel, Michael J.; Boteju, Lakmal W.; Talisman, Ian Jamie; Wetzel, John M.; Packiarajan, Mathivanan; Chen, Heidi; Jimenez, Hermo

PA USA

SO U.S. Pat. Appl. Publ., 265 pp. CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

OS MARPAT 138:321291

IT 445453-46-3P 445454-93-3P 445454-95-5P 445454-96-6P 445454-97-7P 445454-98-8P 445454-99-9P 445455-00-5P 445455-03-8P 445455-04-9P 445455-05-0P 445455-06-1P 445455-24-3P 445455-25-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(preparation of pyrimidine and indol-2-one derivs. as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety)

RN 445453-46-3 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-1-(3-thienyl)-3-[[3-(trifluoromethyl)phenyl]imino]- (9CI) (CA INDEX NAME)

RN 445454-93-3 CAPLUS

CN 2H-Indol-2-one, 3-[(4-chloro-3-methylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-95-5 CAPLUS

CN 2H-Indol-2-one, 3-[(4-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-96-6 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-iodophenyl)imino]-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-97-7 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-98-8 CAPLUS

CN 2H-Indol-2-one, 3-[(3,5-difluorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-99-9 CAPLUS

CN 2H-Indol-2-one, 3-([1,1'-biphenyl]-4-ylimino)-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-00-5 CAPLUS

CN Benzoic acid, 3-[(Z)-[1,2-dihydro-2-oxo-1-(3-thienyl)-3H-indol-3-ylidene]amino]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-03-8 CAPLUS

CN 2H-Indol-2-one, 3-[(4-bromophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-04-9 CAPLUS

CN 2H-Indol-2-one, 3-[(3-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-05-0 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(3-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-06-1 CAPLUS

CN 2H-Indol-2-one, 3-[(3,4-dichlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-24-3 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[[3-(1-methylethyl)phenyl]imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-25-4 CAPLUS

CN 2H-Indol-2-one, 3-[(4-cyclohexylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

IT 445455-58-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrimidine and indol-2-one derivs. as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety)

RN 445455-58-3 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3E)- (9CI) (CA INDEX NAME)

GI

AΒ Title compds. I [W = H, halo, CN, etc.; X = substituted NH2, (un) substituted piperidino, 4-oxopiperidino, piperazino; R1 = bicyclic ring, adamantyl, (hetero)aryl, etc.; Y = substituted NH2, (un)substituted 2-isoquinolinyl, morpholino, etc]. and analogs are selective antagonists for the GAL3 receptor and are useful in treating depression and/or anxiety are prepared Various general procedures for synthesis of I and biol. data, are given. E.g., exemplified compound I [W = H; X = piperidino; Y = N-cyclohexyl-N-methylamino; R1 = 4-MeC6H4] showed Ki of 35 nM against GalR3 receptor binding vs. Ki of 668 nM and Ki of 188 nM against GalR1 and GalR2, resp.

ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN L6

2002:594639 CAPLUS AN

DN 137:154941

ΤI Preparation of pyrimidine and indol-2-one derivatives as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety

IN Blackburn, Thomas P.; Konkel, Michael

PA Synaptic Pharmaceutical Corporation, USA

SO PCT Int. Appl., 832 pp. CODEN: PIXXD2

DT Patent

LΑ English

FAN.CNT 1

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PATENT NO.
                     KIND DATE
                                           APPLICATION NO.
                                                            DATE
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                                           ______
PΤ
    WO 2002060392
                      A2 . 20020808
                                           WO 2002-US4608
                                                            20020131
    WO 2002060392
                      A3
                            20030925
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             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ,
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                           US 2001-775341 A 20010131
    EP 1363638
                       A2
                            20031126
                                           EP 2002-714918
                                                            20020131
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                                           US 2001-775341 A 20010131
                                           WO 2002-US4608 W 20020131
    NO 2003003388
                       Α
                            20030924
                                           NO 2003-3388
                                                            20030729
                                           US 2001-775341 A 20010131
                                           WO 2002-US4608 W 20020131
OS
    MARPAT 137:154941
IT
    445453-46-3P 445454-93-3P 445454-95-5P
    445454-96-6P 445454-97-7P 445454-98-8P
    445454-99-9P 445455-00-5P 445455-03-8P
    445455-04-9P 445455-05-0P 445455-06-1P
    445455-24-3P 445455-25-4P
    RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of pyrimidine and indol-2-one derivs. as galanin GAL3 receptor
        antagonists for the treatment of depression and/or anxiety)
RN
    445453-46-3 CAPLUS
CN
    2H-Indol-2-one, 1,3-dihydro-1-(3-thienyl)-3-[[3-...
     (trifluoromethyl)phenyl]imino] - (9CI) (CA INDEX NAME)
```

RN 445454-93-3 CAPLUS
CN 2H-Indol-2-one, 3-[(4-chloro-3-methylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445454-95-5 CAPLUS

CN 2H-Indol-2-one, 3-[(4-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-96-6 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-iodophenyl)imino]-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-97-7 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445454-98-8 CAPLUS

CN 2H-Indol-2-one, 3-[(3,5-difluorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445454-99-9 CAPLUS

CN 2H-Indol-2-one, 3-([1,1'-biphenyl]-4-ylimino)-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-00-5 CAPLUS

CN Benzoic acid, 3-[(Z)-[1,2-dihydro-2-oxo-1-(3-thienyl)-3H-indol-3-ylidene]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 445455-03-8 CAPLUS CN 2H-Indol-2-one, 3-[(4-bromophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-04-9 CAPLUS

CN 2H-Indol-2-one, 3-[(3-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA:INDEX NAME)

Double bond geometry as shown.

RN 445455-05-0 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(3-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

RN 445455-06-1 CAPLUS

CN 2H-Indol-2-one, 3-[(3,4-dichlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-24-3 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[[3-(1-methylethyl)phenyl]imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 445455-25-4 CAPLUS

CN 2H-Indol-2-one, 3-[(4-cyclohexylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

## IT 445455-58-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrimidine and indol-2-one derivs. as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety)

RN 445455-58-3 CAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

GI

$$Y^2$$
 $Y^3$ 
 $Y^4$ 
 $X$ 
 $X$ 
 $Y^4$ 
 $X$ 

II

The title compds. [I (wherein W = H, halo, CN, etc.; X = substituted NH2, (un) substituted piperidino, 4-oxopiperidino, piperazino; R1 = bicyclic ring, adamantyl, (hetero) aryl, etc.; Y = substituted NH2, (un) substituted 2-isoquinolinyl, morpholino, etc.) and II (Y1-Y4 = H, alkyl, fluoroalkyl, etc.; A = (un) substituted Ph, thienyl, pyridylmethyl, etc.; B = (un) substituted Ph, pyridyl, indolyl, etc.)] which are selective antagonists for the GAL3 receptor, and are useful in treating depression and/or anxiety, were prepared Various general procedures for synthesis of the compds. I and II and their biol. data, were given. E.g., exemplified compound I [W = H; X = piperidino; Y = N-cyclohexyl-N-methylamino; R1 = 4-MeC6H4] showed Ki of 35 nM against GalR3 receptor binding vs. Ki of 668 nM and Ki of 188 nM against GalR1 and GalR2, resp.

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=> d l7 fbib hitstr abs total

L7 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:319458 CAPLUS

DN 138:321291

TI Preparation of pyrimidine and indol-2-one derivatives as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety

IN Blackburn, Thomas P.; Konkel, Michael J.; Boteju, Lakmal W.; Talisman, Ian
Jamie; Wetzel, John M:; Packiarajan, Mathivanan; Chen, Heidi; Jimenez,
Hermo

PA USA

SO U.S. Pat. Appl. Publ., 265 pp.

CODEN: USXXCO

DT Patent

LA English

FAN. CNT 1

| PATENT NO.    | KIND | DATE     | APPLICATION NO.  | DATE     |
|---------------|------|----------|------------------|----------|
|               |      |          |                  |          |
| US 2003078271 | A1   | 20030424 | US 2002-66175    | 20020131 |
|               |      |          | US 2001-265586PP | 20010131 |

OS MARPAT 138:321291

GΙ

PΙ

AB Title compds. I [W = H, halo, CN, etc.; X = substituted NH2, (un)substituted piperidino, 4-oxopiperidino, piperazino; R1 = bicyclic ring, adamantyl, (hetero)aryl, etc.; Y = substituted NH2, (un)substituted 2-isoquinolinyl, morpholino, etc]. and analogs are selective antagonists for the GAL3 receptor and are useful in treating depression and/or anxiety are prepared Various general procedures for synthesis of I and biol. data, are given. E.g., exemplified compound I [W = H; X = piperidino; Y =

N-cyclohexyl-N-methylamino; R1 = 4-MeC6H4] showed Ki of 35 nM against GalR3 receptor binding vs. Ki of 668 nM and Ki of 188 nM against GalR1 and GalR2, resp.

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L7 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
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AN 2002:594639 CAPLUS

DN 137:154941

TI Preparation of pyrimidine and indol-2-one derivatives as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety

IN Blackburn, Thomas P.; Konkel, Michael

PA Synaptic Pharmaceutical Corporation, USA

SO PCT Int. Appl., 832 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| FAN. |                           | rent :                   | NO. |     | KII         | ND  | DATE                  |                           |                           | A:                        | PPLI | CATI | ои ис | o. : | DATE |     |     |     |    |
|------|---------------------------|--------------------------|-----|-----|-------------|-----|-----------------------|---------------------------|---------------------------|---------------------------|------|------|-------|------|------|-----|-----|-----|----|
| ΡI   |                           |                          |     |     | . A2 200208 |     |                       |                           | WO 2002-US4608 20020131   |                           |      |      |       |      |      |     |     |     |    |
|      | WO                        | 2002060392 A3            |     |     |             |     |                       |                           |                           |                           |      |      |       |      |      |     |     |     |    |
|      |                           | W :                      | ΑE, | AG, | AL,         | AM, | AT,                   | AU,                       | ΑZ,                       | BA,                       | BB,  | BG,  | BR,   | BY,  | BZ,  | CA, | CH, | CN, |    |
|      |                           |                          | CO, | CR, | CU,         | CZ, | DE,                   | DK,                       | DM,                       | DZ,                       | EC,  | EE,  | ES,   | FI,  | GB,  | GD, | GE, | GH, |    |
|      |                           |                          | GM, | HR, | HU,         | ID, | ΙL,                   | IN,                       | IS,                       | JP,                       | ΚE,  | KG,  | KΡ,   | KR,  | KΖ,  | LC, | LK, | LR, |    |
|      |                           |                          | LS, | LT, | LU,         | LV, | MA,                   | MD,                       | MG,                       | MK,                       | MN,  | MW,  | MX,   | MZ,  | NO,  | NZ, | OM, | PH, |    |
|      |                           |                          | PL, | PT, | RO,         | RU, | SD,                   | SE,                       | SG,                       | SI,                       | SK,  | SL,  | TJ,   | TM,  | TN,  | TR, | TT, | TZ, |    |
|      |                           |                          | UA, | UG, | UZ,         | VN, | YU,                   | ZA,                       | ZM,                       | ZW,                       | AM,  | AZ,  | BY,   | KG,  | KZ,  | MD, | RU, | TJ, | TM |
|      |                           | RW:                      | GH, | GM, | KE,         | LS, | MW,                   | MZ,                       | SD,                       | SL,                       | SZ,  | TZ,  | UG,   | ZM,  | ZW,  | AT, | BE, | CH, |    |
|      |                           |                          | CY, | DE, | DK,         | ES, | FI,                   | FR,                       | GB,                       | GR,                       | IE,  | IT,  | LU,   | MC,  | NL,  | PT, | SE, | TR, |    |
|      |                           |                          | BF, | ВJ, | CF,         | CG, | CI,                   | CM,                       | GA,                       | GN,                       | GQ,  | GW,  | ML,   | MR,  | NE,  | SN, | TD, | TG  |    |
|      |                           | , -, -, -,,,             |     |     |             |     |                       | US 2001-775341 A 20010131 |                           |                           |      |      |       |      |      |     |     |     |    |
|      | ΕP                        | IP 1363638 A2 20031126   |     |     |             |     |                       |                           | EP 2002-714918 20020131   |                           |      |      |       |      |      |     |     |     |    |
|      |                           | R:                       | AT, | BE, | CH,         | DE, | DK,                   | ES,                       | FR,                       | GB,                       | GR,  | ΙΤ,  | LI,   | LU,  | NL,  | SE, | MC, | PT, |    |
|      |                           |                          | ΙE, | SI, | LT,         | LV, | FI,                   | RO,                       | MK,                       | CY,                       | AL,  | TR   |       |      | -    | -   | •   | •   |    |
|      | US 2001-775341 A 20010131 |                          |     |     |             |     |                       |                           |                           |                           |      |      |       |      |      |     |     |     |    |
|      |                           |                          |     |     |             |     |                       | WO 2002-US4608 W 20020131 |                           |                           |      |      |       |      |      |     |     |     |    |
|      | NO                        | NO 2003003388 A 20030924 |     |     |             |     | NO 2003-3388 20030729 |                           |                           |                           |      |      |       |      |      |     |     |     |    |
|      |                           |                          |     |     |             |     |                       |                           | US 2001-775341 A 20010131 |                           |      |      |       |      |      |     |     |     |    |
|      |                           |                          |     |     |             |     |                       |                           |                           | WO 2002-US4608 W 20020131 |      |      |       |      |      |     |     |     |    |
|      |                           |                          |     |     |             |     |                       |                           |                           |                           |      |      |       |      |      |     |     |     |    |

MARPAT 137:154941

AB The title compds. [I (wherein W = H, halo, CN, etc.; X = substituted NH2, (un) substituted piperidino, 4-oxopiperidino, piperazino; R1 = bicyclic ring, adamantyl, (hetero)aryl, etc.; Y = substituted NH2, (un) substituted 2-isoquinolinyl, morpholino, etc.) and II (Y1-Y4 = H, alkyl, fluoroalkyl,

OS

GI

II

etc.; A = (un)substituted Ph, thienyl, pyridylmethyl, etc.; B = (un)substituted Ph, pyridyl, indolyl, etc.)] which are selective antagonists for the GAL3 receptor, and are useful in treating depression and/or anxiety, were prepared Various general procedures for synthesis of the compds. I and II and their biol. data, were given. E.g., exemplified compound I [W = H; X = piperidino; Y = N-cyclohexyl-N-methylamino; R1 = 4-MeC6H4] showed Ki of 35 nM against GalR3 receptor binding vs. Ki of 668 nM and Ki of 188 nM against GalR1 and GalR2, resp.

| => log y<br>COST IN U.S. DOLLARS           | SINCE FILE | TOTAL   |
|--|------------|---------|
| •  | ENTRY      | SESSION |
| FULL ESTIMATED COST                        | 24.98      | 446.71  |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL   |
|  | ENTRY      | SESSION |
| CA SUBSCRIBER PRICE                        | -4.16      | -4.16   |

STN INTERNATIONAL LOGOFF AT 11:56:53 ON 28 APR 2004